WHAT IS CLAIMED IS:

1 1. A process for preparing a compound having the formula:

$$\begin{array}{c} \left(R^{1}\right)_{m}\left(R^{2}\right)_{n} \\ M-L-A-B-Het-CH_{2}-R^{3}, \end{array}$$

- 3 the process comprising the steps of:
- 4 combining a compound of formula (I):

$$M-L-A-Q$$

(R¹)_m
(I)

7 with a compound of formula (II):

$$\begin{array}{c} \left(R^{2}\right)_{n} \\ Z \longrightarrow B \longrightarrow Het \longrightarrow CH_{2} \longrightarrow R^{3} \end{array}$$
 9 (II)

- in a solvent in the presence of a base and a palladium catalyst, wherein
- 11 A is selected from the group consisting of:
- phenyl, pyridyl, pyrazinyl, pyrimidinyl, and pyridazinyl;
- B is selected from the group consisting of:
- phenyl, pyridyl, pyrazinyl, pyrimidinyl, and pyridazinyl;
- Het-CH₂-R³ is selected from the group consisting of:

17 M-L is selected from the group consisting of:

19 g)
$$M-L^1-X-L^2-X$$
, h) $M-X-X-$, i) $M-L^1-X-X-$, j) $M-X-X-L^2$, and

21	A, at each occurrence, independently is selected from the group consisting
22	of:
23	a) -O-, b) -NR ⁴ -, c) -N(O)-, d) -N(OR ⁴)-, e) -S(O) _p -, f) -SO ₂ NR ⁴ -,
24	g) $-NR^4SO_2$, h) $-NR^4-N=$, i) $=N-NR^4-$, j) $-O-N=$, k) $=N-O-$,
25	l) -N=, m) =N-, n) -NR 4 -NR 4 -, o) -NR 4 C(O)O-, p) -OC(O)NR 4 -,
26	q) $-NR^4C(O)NR^4-r$) $-NR^4C(NR^4)NR^4-$, and
27	s)
	N III
28	R ⁴ R ⁴ N N R ⁴ ;
29	L ¹ is selected from the group consisting of:
30	a) C ₁₋₆ alkyl, b) C ₂₋₆ alkenyl, and c) C ₂₋₆ alkynyl,
31	wherein any of a) $-c$) optionally is substituted with one or
32	more R ⁵ groups; and
33	L ² is selected from the group consisting of:
34	a) C ₁₋₆ alkyl, b) C ₂₋₆ alkenyl, and c) C ₂₋₆ alkynyl,
35	wherein any of a) $-c$) optionally is substituted with one or
36	more R ⁵ groups;
37	alternatively, L in M-L is a bond;
38	M is selected from the group consisting of:
39	a) C ₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, b) 3-14 membered saturated,
40	unsaturated, or aromatic heterocycle containing one or more heteroatoms selected
41	from the group consisting of nitrogen, oxygen, and sulfur, c) C ₁₋₆ alkyl, d) C ₂₋₆
42	alkenyl, e) C ₂₋₆ alkynyl, and f) -CN,
43	wherein any of a) $- e$) optionally is substituted with one or more R^5
44	groups;
45	Q is a borane having the formula -BY ₂ , wherein
46	Y, at each occurrence, independently is selected from the group consisting of:
47	a) $-OH$, b) $-OC_{1-6}$ alkyl, c) $-OC_{2-6}$ alkenyl, d) $-OC_{2-6}$ alkynyl,
48	e) -OC ₁₋₁₄ saturated, unsaturated, or aromatic carbocycle, f) C ₁₋₆ alkyl, g) C ₂₋

49	6 alkenyl, h) C2-6 alkynyl, and i) C1-14 saturated, unsaturated, or aromatic
50	carbocycle,
51	wherein any of b) – i) optionally is substituted with one or more
52	halogens;
53	alternatively, two Y groups taken together comprise a chemical moiety selected
54	from the group consisting of:
55	a) $-OC(R^4)(R^4)C(R^4)(R^4)O$ -, and b) $-OC(R^4)(R^4)CH_2C(R^4)(R^4)O$ -;
56	alternatively, Q is a BF3 alkali metal salt or 9-borabicyclo[3.3.1]nonane;
57	Z is selected from the group consisting of:
58	a) I, b) Br, c) Cl, and d) R ⁹ OSO ₃ -;
59	R ¹ , at each occurrence, independently is selected from the group consisting of:
60	a) F, b) Cl, c) Br, d) I, e) -CF ₃ , f) $-OR^4$, g) -CN, h) -NO ₂ , i) -NR ⁴ R ⁴ , j) -C(O)R ⁴ ,
61	k) $-C(O)OR^4$, l) $-OC(O)R^4$, m) $-C(O)NR^4R^4$, n) $-NR^4C(O)R^4$, o) $-OC(O)NR^4R^4$,
62	$p) - NR^4C(O)OR^4, q) - NR^4C(O)NR^4R^4, r) - C(S)R^4, s) - C(S)OR^4, t) - OC(S)R^4,$
63	u) $-C(S)NR^4R^4$, v) $-NR^4C(S)R^4$, w) $-OC(S)NR^4R^4$, x) $-NR^4C(S)OR^4$,
64	y) $-NR^4C(S)NR^4R^4$, z) $-C(NR^4)R^4$, aa) $-C(NR^4)OR^4$, bb) $-OC(NR^4)R^4$,
65	cc) $-C(NR^4)NR^4R^4$, dd) $-NR^4C(NR^4)R^4$, ee) $-OC(NR^4)NR^4R^4$,
66	ff) $-NR^4C(NR^4)OR^4$, gg) $-NR^4C(NR^4)NR^4R^4$, hh) $-S(O)_pR^4$, ii) $-SO_2NR^4R^4$, and
67	jj) R ⁴ ;
68	R ² , at each occurrence, independently is selected from the group consisting of:
69	a) F, b) Cl, c) Br, d) I, e) -CF ₃ , f) $-OR^4$, g) -CN, h) -NO ₂ , i) -NR ⁴ R ⁴ , j) -C(O)R ⁴ ,
70	k) $-C(O)OR^4$, l) $-OC(O)R^4$, m) $-C(O)NR^4R^4$, n) $-NR^4C(O)R^4$, o) $-OC(O)NR^4R^4$,
71	$p) - NR^{4}C(O)OR^{4}, q) - NR^{4}C(O)NR^{4}R^{4}, r) - C(S)R^{4}, s) - C(S)OR^{4}, t) - OC(S)R^{4},$
72	u) $-C(S)NR^4R^4$, v) $-NR^4C(S)R^4$, w) $-OC(S)NR^4R^4$, x) $-NR^4C(S)OR^4$,
73	y) $-NR^4C(S)NR^4R^4$, z) $-C(NR^4)R^4$, aa) $-C(NR^4)OR^4$, bb) $-OC(NR^4)R^4$,
74 ⁻	cc) $-C(NR^4)NR^4R^4$, dd) $-NR^4C(NR^4)R^4$, ee) $-OC(NR^4)NR^4R^4$,
75	ff) $-NR^4C(NR^4)OR^4$, gg) $-NR^4C(NR^4)NR^4R^4$, hh) $-S(O)_pR^4$, ii) $-SO_2NR^4R^4$, and
76	jj) R⁴;
77	R ³ is selected from the group consisting of:
78	a) $-OR^4$, b) $-NR^4R^4$, c) $-C(O)R^4$, d) $-C(O)OR^4$, e) $-OC(O)R^4$, f) $-C(O)NR^4R^4$,
79	g) $-NR^4C(O)R^4$, h) $-OC(O)NR^4R^4$, i) $-NR^4C(O)OR^4$, j) $-NR^4C(O)NR^4R^4$,

80	k) $-C(S)R^4$, l) $-C(S)OR^4$, m) $-OC(S)R^4$, n) $-C(S)NR^4R^4$, o) $-NR^4C(S)R^4$,
81	p) $-OC(S)NR^4R^4$, q) $-NR^4C(S)OR^4$, r) $-NR^4C(S)NR^4R^4$, s) $-C(NR^4)R^4$,
82	t) $-C(NR^4)OR^4$, u) $-OC(NR^4)R^4$, v) $-C(NR^4)NR^4R^4$, w) $-NR^4C(NR^4)R^4$,
83	x) $-OC(NR^4)NR^4R^4$, y) $-NR^4C(NR^4)OR^4$, z) $-NR^4C(NR^4)NR^4R^4$, aa) $-S(O)_pR^4$,
84	bb) -SO ₂ NR ⁴ R ⁴ , and cc) R ⁴ ;
85	R ⁴ , at each occurrence, independently is selected from the group consisting of:
86	a) H, b) -OR ⁶ , c) an amine protecting group, d) C ₁₋₆ alkyl, e) C ₂₋₆ alkenyl,
87	f) C ₂₋₆ alkynyl, g) C ₃₋₁₄ saturated, unsaturated, or aromatic carbocycle,
88	h) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one
89	or more heteroatoms selected from the group consisting of nitrogen, oxygen, and
90	sulfur, i) $-C(O)-C_{1-6}$ alkyl, j) $-C(O)-C_{2-6}$ alkenyl, k) $-C(O)-C_{2-6}$ alkynyl,
91	l) -C(O)-C ₃₋₁₄ saturated, unsaturated, or aromatic carbocycle,
92	m) -C(O)-3-14 membered saturated, unsaturated, or aromatic heterocycle
93	comprising one or more heteroatoms selected from the group consisting of nitrogen
94	oxygen, and sulfur, n) -C(O)O-C ₁₋₆ alkyl, o) -C(O)O-C ₂₋₆ alkenyl, p) -C(O)O-
95	C ₂₋₆ alkynyl, q) -C(O)O-C ₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, and
96	r) -C(O)O-3-14 membered saturated, unsaturated, or aromatic heterocycle
97	comprising one or more heteroatoms selected from the group consisting of nitrogen
98	oxygen, and sulfur,
99	wherein any of d) – r) optionally is substituted with one or more R^5 groups;
100	R ⁵ , at each occurrence, is independently selected from the group consisting of:
101	a) F, b) Cl, c) Br, d) I, e) =0, f) =S, g) =NR ⁶ , h) =NOR ⁶ , i) =N-NR ⁶ R ⁶ , j) -CF ₃ , k) -
102	OR^6 , I) -CN, m) -NO ₂ , n) -NR ⁶ R ⁶ , o) -C(O)R ⁶ , p) -C(O)OR ⁶ , q) -OC(O)R ⁶ ,
103	r) -C(O)NR 6 R 6 , s) -NR 6 C(O)R 6 , t) -OC(O)NR 6 R 6 , u) -NR 6 C(O)OR 6 ,
104	v) $-NR^6C(O)NR^6R^6$, w) $-C(S)R^6$, x) $-C(S)OR^6$, y) $-OC(S)R^6$, z) $-C(S)NR^6R^6$,
105	aa) $-NR^6C(S)R^6$, bb) $-OC(S)NR^6R^6$, cc) $-NR^6C(S)OR^6$, dd) $-NR^6C(S)NR^6R^6$,
106	ee) $-C(NR^6)R^6$, ff) $-C(NR^6)OR^6$, gg) $-OC(NR^6)R^6$, hh) $-C(NR^6)NR^6R^6$,
107	ii) $-NR^6C(NR^6)R^6$, jj) $-OC(NR^6)NR^6R^6$, kk) $-NR^6C(NR^6)OR^6$,
108	II) $-NR^6C(NR^6)NR^6R^6$, mm) $-S(O)_pR^6$, nn) $-SO_2NR^6R^6$, and oo) R^6 ;
109	R ⁶ , at each occurrence, independently is selected from the group consisting of:
110	a) H, b) -OR ⁸ , c) an amine protecting group, d) C ₁₋₆ alkyl, e) C ₂₋₆ alkenyl,
111	f) C ₂₋₆ alkynyl, g) C ₃₋₁₄ saturated, unsaturated, or aromatic carbocycle,

112	h) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one
113	or more heteroatoms selected from the group consisting of nitrogen, oxygen, and
114	sulfur, i) -C(O)-C ₁₋₆ alkyl, j) -C(O)-C ₂₋₆ alkenyl, k) -C(O)-C ₂₋₆ alkynyl,
115	l) -C(O)-C ₃₋₁₄ saturated, unsaturated, or aromatic carbocycle,
116	m) -C(O)-3-14 membered saturated, unsaturated, or aromatic heterocycle
117	comprising one or more heteroatoms selected from the group consisting of nitrogen,
118	oxygen, and sulfur, n) -C(O)O-C ₁₋₆ alkyl, o) -C(O)O-C ₂₋₆ alkenyl, p) -C(O)O-
119	C ₂₋₆ alkynyl, q) -C(O)O-C ₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, and
120	r) -C(O)O-3-14 membered saturated, unsaturated, or aromatic heterocycle
121	comprising one or more heteroatoms selected from the group consisting of nitrogen,
122	oxygen, and sulfur,
123	wherein any of d) – r) optionally is substituted with one or more R^7 groups;
124	R ⁷ , at each occurrence, independently is selected from the group consisting of:
125	a) F, b) Cl, c) Br, d) I, e) = O, f) = S, g) = NR^8 , h) = NOR^8 , i) = $N-NR^8R^8$, j) - CF_3 , k) -
126	OR^{8} , l) -CN, m) -NO ₂ , n) -NR ⁸ R ⁸ , o) -C(O)R ⁸ , p) -C(O)OR ⁸ , q) -OC(O)R ⁸ ,
127	r) -C(O)NR 8 R 8 , s) -NR 8 C(O)R 8 , t) -OC(O)NR 8 R 8 , u) -NR 8 C(O)OR 8 ,
128	v) $-NR^8C(O)NR^8R^8$, w) $-C(S)R^8$, x) $-C(S)OR^8$, y) $-OC(S)R^8$, z) $-C(S)NR^8R^8$,
129	aa) $-NR^8C(S)R^8$, bb) $-OC(S)NR^8R^8$, cc) $-NR^8C(S)OR^8$, dd) $-NR^8C(S)NR^8R^8$,
130	ee) -C(NR 8)R 8 , ff) -C(NR 8)OR 8 , gg) -OC(NR 8)R 8 , hh) -C(NR 8)NR 8 R 8 ,
131	ii) -NR 8 C(NR 8)R 8 , jj) -OC(NR 8)NR 8 R 8 , kk) –NR 8 C(NR 8)OR 8 ,
132	ll) -NR 8 C(NR 8)NR 8 R 8 , mm) -S(O) $_p$ R 8 , nn) -SO $_2$ NR 8 R 8 , oo) C $_{1-6}$ alkyl,
133	pp) C ₂₋₆ alkenyl, qq) C ₂₋₆ alkynyl, rr) C ₃₋₁₄ saturated, unsaturated, or aromatic
134	carbocycle, and ss) 3-14 membered saturated, unsaturated, or aromatic heterocycle
135	comprising one or more heteroatoms selected from the group consisting of nitrogen,
136	oxygen, and sulfur,
137	wherein any of oo) – ss) optionally is substituted with one or more moieties
138	selected from the group consisting of R ⁸ , F, Cl, Br, I, -CF ₃ , -OR ⁸ , -SR ⁸ ,
139	-CN, -NO ₂ , -NR ⁸ R ⁸ , -C(O)R ⁸ , -C(O)OR ⁸ , -OC(O)R ⁸ , -C(O)NR ⁸ R ⁸ ,
140	$-NR^8C(O)R^8$, $-OC(O)NR^8R^8$, $-NR^8C(O)OR^8$, $-NR^8C(O)NR^8R^8$, $-C(S)R^8$,
141	$-C(S)OR^8$, $-OC(S)R^8$, $-C(S)NR^8R^8$, $-NR^8C(S)R^8$, $-OC(S)NR^8R^8$,
142	-NR 8 C(S)OR 8 , –NR 8 C(S)NR 8 R 8 , -C(NR 8)R 8 , -C(NR 8)OR 8 , -OC(NR 8)R 8 ,
143	$-C(NR^8)NR^8R^8$, $-NR^8C(NR^8)R^8$, $-OC(NR^8)NR^8R^8$, $-NR^8C(NR^8)OR^8$,
144	-NR 8 C(NR 8)NR 8 R 8 , -SO ₂ NR 8 R 8 , and-S(O) $_p$ R 8 ;

R⁸, at each occurrence, independently is selected from the group consisting of: 145 a) H, b) an amine protecting group, c) C₁₋₆ alkyl, d) C₂₋₆ alkenyl, e) C₂₋₆ alkynyl, 146 f) C₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, g) 3-14 membered saturated, 147 unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected 148 from the group consisting of nitrogen, oxygen, and sulfur, h) -C(O)-C₁₋₆ alkyl, 149 150 i) $-C(O)-C_{2-6}$ alkenyl, j) $-C(O)-C_{2-6}$ alkynyl, k) $-C(O)-C_{3-14}$ saturated, unsaturated, or aromatic carbocycle, 1) -C(O)-3-14 membered saturated, 151 unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected 152 from the group consisting of nitrogen, oxygen, and sulfur, m) -C(O)O-C₁₋₆ alkyl, 153 n) $-C(O)O-C_{2-6}$ alkenyl, o) $-C(O)O-C_{2-6}$ alkynyl, p) $-C(O)O-C_{3-14}$ saturated, 154 unsaturated, or aromatic carbocycle, and q) -C(O)O-3-14 membered saturated, 155 unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected 156 from the group consisting of nitrogen, oxygen, and sulfur, 157 wherein any of c) – q) optionally is substituted with one or more moieties 158 159 selected from the group consisting of F, Cl, Br, I, -CF₃, -OH, -OC₁₋₆ alkyl, -SH, -SC₁₋₆ alkyl, -CN, -NO₂, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, 160 $-C(O)C_{1-6}$ alkyl, $-C(O)OC_{1-6}$ alkyl, $-C(O)NH_2$, $-C(O)NHC_{1-6}$ alkyl, 161 $-C(O)N(C_{1-6} \text{ alkyl})_2$, $-NHC(O)C_{1-6} \text{ alkyl}$, $-SO_2NH_2$ -, $-SO_2NHC_{1-6} \text{ alkyl}$, 162 $-SO_2N(C_{1-6} \text{ alkyl})_2$, and $-S(O)_0C_{1-6} \text{ alkyl}$; 163 R⁹ is selected from the group consisting of: 164 165 a) C₁₋₆ alkyl, b) phenyl, and c) toluyl; wherein any of a) - c) optionally is substituted with one or more moieties 166 selected from the group consisting of F, Cl, Br, and I; 167 m is 0, 1, 2, 3, or 4; 168 n is 0, 1, 2, 3, or 4; and 169 p, at each occurrence, independently is 0, 1, or 2. 170

1 2. A process for preparing a compound having the formula:

$$M-L-A-B-N$$

$$H_2C-R^3$$

- 3 the process comprising the steps of:
- 4 combining a compound of formula (I):

$$\begin{array}{c}
\begin{pmatrix} R^1 \\ M \end{pmatrix}_{m} \\
6
\end{array}$$
(I)

7 with a compound of formula (II):

$$Z \xrightarrow{R^2 \choose l}_{n} \bigvee_{0} O$$

$$H_2C \xrightarrow{R^3}$$
9 (II)

- in a solvent in the presence of a base and a palladium catalyst,
- wherein A, B, L, M, R¹, R², R³, Q, Z, m, and n are defined as described in claim 1.
- 1 3. A process for preparing a compound having the formula:

$$\begin{array}{c} \begin{pmatrix} R^1 \end{pmatrix}_m \begin{pmatrix} R^2 \end{pmatrix}_n & O \\ \downarrow & M - L - A - B - N \\ & & H_2C - R^3 \end{array}$$

- 3 the process comprising the steps of:
- 4 combining a compound of formula (I):

$$\begin{array}{c}
\begin{pmatrix} R^1 \\ m \end{pmatrix} \\
M - L - A - Q
\end{array}$$
6 (I)

7 with a compound of formula (II):

$$Z \xrightarrow{\left(\mathbb{R}^{2}\right)_{n}} N \xrightarrow{\left(\mathbb{R}^{2}\right)_{n}} 0$$

$$H_{2}C \xrightarrow{\mathbb{R}^{3}}$$

$$9 \qquad (II)$$

in a solvent in the presence of a base and a palladium catalyst,

- wherein A, B, L, M, R¹, R², R³, Q, Z, m, and n are defined as described in claim 1.
- 1 4. The process according to any one of claims 1-3, wherein
- A is selected from the group consisting of phenyl and pyridyl;
- B is selected from the group consisting of phenyl and pyridyl;
- 4 m is 0, 1, or 2; and
- 5 n is 0, 1, or 2.

2

2

- 1 5. The process according to any one of claims 1-4, wherein R^3 is $-NHC(O)R^4$.
- 1 6. The process according to claim 5, wherein \mathbb{R}^4 is $-\mathbb{C}H_3$.
- 1 7. The process according to any one of claims 1-4, wherein R³ is selected from the group
- 2 consisting of triazole, tetrazole, oxazole, and isoxazole.
- 1 8. The process according to claim 7, wherein R^3 is triazole.
- 1 9. The process according to claim 8, wherein R³ is [1,2,3]triazol-1-yl.
- 1 10. The process according to any one of claims 1-4, wherein compound (II) has the formula:

$$Z = \begin{bmatrix} R^2 \\ n \end{bmatrix}$$
 O $H_2C = R^3$

- wherein R^2 , R^3 , Z, and n are defined as described in claim 1.
- 1 11. The process according to claim 10, wherein compound (II) has the formula:

- 3 wherein Z and R^3 are defined as described in claim 1.
- 1 12. The process according to claim 11, wherein compound (II) has the formula:

$$Z \longrightarrow N \longrightarrow O O O O CH_3$$

wherein Z is defined as described in claim 1.

2

2

2

1 13. The process according to claim 11, wherein compound (II) has the formula:

wherein Z is defined as described in claim 1.

1 14. The process according to claim 10, wherein compound (II) has the formula:

wherein Z and R³ are defined as described in claim 1.

1 15. The process according to claim 14, wherein compound (II) has the formula:

$$Z$$
 H_2C
 H_3
 CH_3

wherein Z is defined as described in claim 1.

1 16. The process according to claim 14, wherein compound (II) has the formula:

wherein Z is defined as described in claim 1.

1 17. The process according to any one of claims 1-16, wherein compound (I) has the formula:

$$M-L- \xrightarrow{\left(R^{1}\right)_{m}} Q$$

wherein L, M, Q, R¹, and m are defined as described in claim 1.

1 18. The process according to claim 17, wherein compound (I) has the formula:

- wherein L, M, and Q, are defined as described in claim 1.
- 1 19. The process according to any one of claims 1-16, wherein compound (I) has the formula:

$$\begin{array}{c}
\begin{pmatrix} R^1 \\ m \\
 - - - Q \\
 N - 1
\end{pmatrix}$$

- wherein L, M, Q, R¹, and m are defined as described in claim 1.
- 1 20. The process according to claim 19, wherein compound (I) has the formula:

$$M-L-\sqrt{N-Q}$$

- wherein L, M, and Q, are defined as described in claim 1.
- 1 21. The process according to any one of claims 1-20, wherein M-L is M-CH₂-X-CH₂-.
- 1 22. The process according to claim 21, wherein X is -NR⁴-.
- 1 23. The process according to claim 22, wherein R⁴ is H.
- 1 24. The process according to claim 22, wherein R⁴ is an amine protecting group.
- 1 25. The process according to claim 24, wherein the amine protecting group is selected from
- 2 the group consisting of:
- a) benzyl, b) t-butyldimethylsilyl, c) t-butdyldiphenylsilyl, d) t-butyloxycarbonyl,
- e) p-methoxybenzyl, f) methoxymethyl, g) tosyl, h) trifluoroacetyl,
- i) trimethylsilyl, j) fluorenyl-methyloxycarbonyl, k) 2-trimethylsilyl-
- 6 ethyoxycarbonyl, l) 1-methyl-1-(4-biphenylyl)ethoxycarbonyl,
- m) allyloxycarbonyl, and n) benzyloxycarbonyl.
- 1 26. The process according to claim 24, further comprising the step of removing the amine
- 2 protecting group.
- 1 27. The process according to any one of claims 1-20, wherein
- 2 $M-L \text{ is } M-S-L^1-NR^4-L^2;$
- 3 L^1 is C_{1-6} alkyl; and
- 4 L^2 is C_{1-6} alkyl.

- 1 28. The process according to claim 27, wherein M-L is:
- 2 $M-S-CH_2CH_2-NH-CH_2-$
- 1 29. The process according to any one of claims 1-20, wherein L is C_{1-6} alkyl.
- 1 30. The process according to claim 29, wherein L is -CH₂-.
- 1 31. The process according to any one of claims 21-30, wherein M comprises a 5-6 membered
- 2 saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected
- 3 from the group consisting of nitrogen, oxygen, and sulfur.
- 1 32. The process according to claim 31, wherein M is selected from the group consisting of
- 2 triazole, tetrazole, oxazole, and isoxazole.
- 1 33. The process according to claim 32, wherein M is isoxazol-4-yl.
- 1 34. The process according to claim 32, wherein M is [1,2,3]triazol-1-yl.
- 1 35. The process according to claim 32, wherein M is [1,2,3]triazol-4-yl.
- 1 36. The process according to any one of claims 1-20, wherein M-L is M-X-CH₂-.
- 1 37. The process according to claim 36, wherein X is $-NR^4$ -.
- 1 38. The process according to claim 37, wherein R^4 is H.
- 1 39. The process according to claim 37, wherein R⁴ is an amine protecting group.
- 1 40. The process according to claim 39, wherein the amine protecting group is selected from
- 2 the group consisting of:
- a) benzyl, b) t-butyldimethylsilyl, c) t-butdyldiphenylsilyl, d) t-butyloxycarbonyl,
- e) p-methoxybenzyl, f) methoxymethyl, g) tosyl, h) trifluoroacetyl,
- 5 i) trimethylsilyl, j) fluorenyl-methyloxycarbonyl, k) 2-trimethylsilyl-
- 6 ethyoxycarbonyl, l) 1-methyl-1-(4-biphenylyl)ethoxycarbonyl,
- 7 m) allyloxycarbonyl, and n) benzyloxycarbonyl.
- 1 41. The process according to claim 39, further comprising the step of removing the amine
- 2 protecting group.

1 42. The process according any one of claims 1-20, wherein M-X is:

1 43. The process according to claim 42, wherein M-X is:

2

3

1 44. The process according to any one of claims 36-43, wherein M is selected from the group

2 consisting of:

a) C₁₋₆ alkyl, b) C₂₋₆ alkenyl, c) C₂₋₆ alkynyl, and d) -CN,

4 wherein

- 5 i) any of a) c) is substituted with one or more moieties selected from the group consisting of F, Cl, Br, I, and -CN; and
- 7 ii) any of a) c) optionally is further substituted with one or more R⁵ groups.
- 1 45. The process according to claim 44, wherein M is C_{1-6} alkyl substituted with one or more
- 2 atoms selected from the group consisting of F, Cl, Br, and I.
- 1 46. The process according to claim 45, wherein M is -CH₂CH₂CH₂F.
- 1 47. The process according to claim 44, wherein M is -CH₂CH(OH)CH₂F.
- 1 48. The process according to claim 44, wherein M is C₁₋₆ alkyl substituted with one or more
- 2 –CN groups.
- 1 49. The process according to claim 48, wherein M is -CH₂CH₂CN.
- 1 50 The process according to claim 44, wherein M is -CH₂C(O)NH₂.
- 1 51. The process according to any one of claims 1-50, wherein Z is selected from the group
- 2 consisting of I, trifluoromethanesulfonate, and p-toluenesulfonate.
- 1 52. The process according to claim 51, wherein Z is I.
- 1 53. The process according to any one of claims 1-52, wherein Q is -B(OH)₂.
- 1 54. The process according to any one of claims 1-52, wherein Q is:

$$-B$$
 O
 CH_3
 CH_3
 CH_3
 CH_3

2

1 55. The process according to any one of claims 1-52, wherein Q is -BF₂·KF.

- 1 56. The process according to any one of claims 1-55, wherein the base is selected from the
- 2 group consisting of alkali metal hydroxides, alkali metal carbonates, alkali metal fluorides,
- 3 trialkyl amines, and mixtures thereof.
- 1 57. The process according to claim 56, wherein the base is selected from the group consisting
- 2 of potassium carbonate, sodium carbonate, sodium methoxide, sodium ethoxide, potassium
- 3 fluoride, triethylamine, diisopropylethylamine, and mixtures thereof.
- 1 58. The process according to claim 57, wherein the base is potassium carbonate.
- 1 59. The process according to claim 56, wherein the ratio of equivalents of base to equivalents
- 2 of compound (I) is about 3:1.
- 1 60. The process according to any one of claims 1-59, wherein the palladium catalyst is a ligand
- 2 coordinated palladium (0) catalyst.
- 1 61. The process according to claim 60, wherein the palladium catalyst is a tetrakis
- 2 (trialkylphosphine) palladium (0) or a tetrakis(triarylphosphine) palladium (0) catalyst.
- 1 62. The process according to claim 61, wherein the palladium catalyst is
- 2 tetrakis(triphenylphosphine) palladium (0).
- 1 63. The process according to claim 62, wherein the ratio of the equivalents of
- 2 tetrakis(triphenylphosphine) palladium (0) to the equivalents of compound (I) is about 1:20.
- 1 64. The process according to any one of claims 1-63, wherein the solvent comprises an
- 2 aqueous solvent.
- 1 65. The process according to any one of claims 1-63, wherein the solvent comprises a
- 2 mixture of water and an organic solvent, wherein the organic solvent is selected from the group
- 3 consisting of:
- a) methanol, b) ethanol, c) propanol, d) isopropanol, e) butanol, f) isobutanol,
- g) secondary butanol, h) tertiary butanol, i) benzene, j) toluene,
- 6 k) tetrahydrofuran, l) dimethylformamide, m) 1,2-diethyl ether,
- 7 n) dimethoxyethane, o) diisopropyl ether, p) methyltertiarybutyl ether,
- g) methoxymethyl ether, r) 2-methoxyethyl ether, s) 1,4-dioxane, and
- 9 t) 1,3-dioxolane, and mixtures thereof.
- 1 66. The process according to claim 65 wherein the solvent comprises a mixture of water,
- 2 toluene, and ethanol.

- 1 67. The process according to claim 66 wherein the solvent comprises a mixture of water,
- 2 toluene, and ethanol in a ratio of about 1:3:1 by volume.
- 1 68. The process according to any one of claims 1-67, wherein the process is carried out at a
- 2 temperature between about 20 °C and about 100 °C.
- 1 69. The process according to any one of claims 1-67, wherein the process is carried out at the
- 2 reflux temperature of the solvent.
- 1 70. A process for preparing a compound having the formula:

$$\begin{array}{c} \left(R^{1}\right)_{m} \left(R^{2}\right)_{n} \\ M - L - A - B - Het - CH_{2} - R^{3}, \end{array}$$

- 3 the process comprising the steps of:
- 4 combining a compound of formula (I):

$$M - L - A - Z$$

7 with a compound of formula (II):

$$Q \xrightarrow{\left(\begin{matrix} R^2 \right)_n} \\ Q \xrightarrow{B} Het - CH_2 - R^3$$
9 (II)

- in a solvent in the presence of a base and a palladium catalyst, wherein
- 11 A is selected from the group consisting of:
- phenyl, pyridyl, pyrazinyl, pyrimidinyl, and pyridazinyl;
- B is selected from the group consisting of:

. 4

- phenyl, pyridyl, pyrazinyl, pyrimidinyl, and pyridazinyl;
- Het-CH₂-R³ is selected from the group consisting of:

$$CH_2-R^3$$
, CH_2-R^3 , CH_2-R^3 , and CH_2-R^3

17	M-L is selected from the group consisting of:
18	a) M-X, b) M-L ¹ , c) M-L ¹ -X, d) M-X-L ² , e) M-L ¹ -X-L ² , f) M-X-L ¹ -X-L ² ,
19	g) $M-L^1-X-L^2-X$, h) $M-X-X-$, i) $M-L^1-X-X-$, j) $M-X-X-L^2$, and
20	k) M-L ¹ -X-X-L ² , wherein
21	X, at each occurrence, independently is selected from the group consisting
22	of:
23	a) -O-, b) -NR ⁴ -, c) -N(O)-, d) -N(OR ⁴)-, e) -S(O) _p -, f) -SO ₂ NR ⁴ -,
24	g) $-NR^4SO_2$, h) $-NR^4$ -N=, i) =N-NR ⁴ -, j) -O-N=, k) =N-O-,
25	1) $-N=$, m) $=N-$, n) $-NR^4-NR^4-$, o) $-NR^4C(O)O-$, p) $-OC(O)NR^4-$,
26	q) $-NR^4C(O)NR^4-r$) $-NR^4C(NR^4)NR^4-$, and
27	s)
	N II
20	$R^4R^4N N$
28 29	L ¹ is selected from the group consisting of:
30	a) C_{1-6} alkyl, b) C_{2-6} alkenyl, and c) C_{2-6} alkynyl,
31	wherein any of a) $-c$) optionally is substituted with one or
32	more R ⁵ groups; and
33	L^2 is selected from the group consisting of:
34	a) C ₁₋₆ alkyl, b) C ₂₋₆ alkenyl, and c) C ₂₋₆ alkynyl,
35	wherein any of a) $-c$) optionally is substituted with one or
36	more R ⁵ groups;
37	alternatively, L in M-L is a bond;
38	M is selected from the group consisting of:
39	a) C ₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, b) 3-14 membered
40	saturated, unsaturated, or aromatic heterocycle containing one or more
41	heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,
42	c) C ₁₋₆ alkyl, d) C ₂₋₆ alkenyl, e) C ₂₋₆ alkynyl, and f) -CN,
43	wherein any of a) – e) optionally is substituted with one or more R^5
44	groups;
45	O is a borane having the formula –BY2, wherein

46	Y, at each occurrence, independently is selected from the group consisting of:
47	a) -OH, b) -OC ₁₋₆ alkyl, c) -OC ₂₋₆ alkenyl, d) -OC ₂₋₆ alkynyl,
48	e) -OC ₁₋₁₄ saturated, unsaturated, or aromatic carbocycle, f) C ₁₋₆ alkyl,
49	g) C_{2-6} alkenyl, h) C_{2-6} alkynyl, and i) C_{1-14} saturated, unsaturated, or
50	aromatic carbocycle,
51	wherein any of b) – i) optionally is substituted with one or more
52	halogens;
53	alternatively, two Y groups taken together comprise a chemical moiety selected
54	from the group consisting of:
55	a) $-OC(R^4)(R^4)C(R^4)(R^4)O$ -, and b) $-OC(R^4)(R^4)CH_2C(R^4)(R^4)O$ -;
56	alternatively, Q is a BF3 alkali metal salt or 9-borabicyclo[3.3.1]nonane;
57	Z is selected from the group consisting of:
58	a) I, b) Br, c) Cl, and d) R ⁹ OSO ₃ -;
59	R ¹ , at each occurrence, independently is selected from the group consisting of:
60	a) F, b) Cl, c) Br, d) I, e) -CF ₃ , f) -OR ⁴ , g) -CN, h) -NO ₂ , i) -NR ⁴ R ⁴ , j) -C(O)R ⁴ ,
61	k) $-C(O)OR^4$, 1) $-OC(O)R^4$, m) $-C(O)NR^4R^4$, n) $-NR^4C(O)R^4$, o) $-OC(O)NR^4R^4$,
62	$p) - NR^{4}C(O)OR^{4}, q) - NR^{4}C(O)NR^{4}R^{4}, r) - C(S)R^{4}, s) - C(S)OR^{4}, t) - OC(S)R^{4},$
63	u) $-C(S)NR^4R^4$, v) $-NR^4C(S)R^4$, w) $-OC(S)NR^4R^4$, x) $-NR^4C(S)OR^4$,
64	y) $-NR^4C(S)NR^4R^4$, z) $-C(NR^4)R^4$, aa) $-C(NR^4)OR^4$, bb) $-OC(NR^4)R^4$,
65	cc) $-C(NR^4)NR^4R^4$, dd) $-NR^4C(NR^4)R^4$, ee) $-OC(NR^4)NR^4R^4$,
66	ff) $-NR^4C(NR^4)OR^4$, gg) $-NR^4C(NR^4)NR^4R^4$, hh) $-S(O)_pR^4$, ii) $-SO_2NR^4R^4$, and
67	jj) R⁴;
68	R ² , at each occurrence, independently is selected from the group consisting of:
69	a) F, b) Cl, c) Br, d) I, e) -CF ₃ , f) $-OR^4$, g) -CN, h) -NO ₂ , i) -NR ⁴ R ⁴ , j) -C(O)R ⁴ ,
70	k) $-C(O)OR^4$, l) $-OC(O)R^4$, m) $-C(O)NR^4R^4$, n) $-NR^4C(O)R^4$, o) $-OC(O)NR^4R^4$,
71	$p) - NR^{4}C(O)OR^{4}, q) - NR^{4}C(O)NR^{4}R^{4}, r) - C(S)R^{4}, s) - C(S)OR^{4}, t) - OC(S)R^{4},$
72	u) $-C(S)NR^4R^4$, v) $-NR^4C(S)R^4$, w) $-OC(S)NR^4R^4$, x) $-NR^4C(S)OR^4$,
73	y) $-NR^4C(S)NR^4R^4$, z) $-C(NR^4)R^4$, aa) $-C(NR^4)OR^4$; bb) $-OC(NR^4)R^4$,
74	cc) $-C(NR^4)NR^4R^4$, dd) $-NR^4C(NR^4)R^4$, ee) $-OC(NR^4)NR^4R^4$,
75	ff) -NR 4 C(NR 4)OR 4 , gg) -NR 4 C(NR 4)NR 4 R 4 , hh) -S(O) $_p$ R 4 , ii) -SO $_2$ NR 4 R 4 , and
76	ji) R⁴:

```
R<sup>3</sup> is selected from the group consisting of:
77
                         a) -OR^4, b) -NR^4R^4, c) -C(O)R^4, d) -C(O)OR^4, e) -OC(O)R^4, f) -C(O)NR^4R^4,
78
                         g) -NR^4C(O)R^4, h) -OC(O)NR^4R^4, i) -NR^4C(O)OR^4, j) -NR^4C(O)NR^4R^4,
79
                         k) -C(S)R^4, l) -C(S)OR^4, m) -OC(S)R^4, n) -C(S)NR^4R^4, o) -NR^4C(S)R^4,
80
                         p) -OC(S)NR<sup>4</sup>R<sup>4</sup>, q) -NR<sup>4</sup>C(S)OR<sup>4</sup>, r) -NR<sup>4</sup>C(S)NR<sup>4</sup>R<sup>4</sup>, s) -C(NR<sup>4</sup>)R<sup>4</sup>,
81
                         t) -C(NR^4)OR^4, u) -OC(NR^4)R^4, v) -C(NR^4)NR^4R^4, w) -NR^4C(NR^4)R^4,
82
                         x) -OC(NR^4)NR^4R^4, y) -NR^4C(NR^4)OR^4, z) -NR^4C(NR^4)NR^4R^4, aa) -S(O)_0R^4,
83
                         bb) -SO<sub>2</sub>NR<sup>4</sup>R<sup>4</sup>, and cc) R<sup>4</sup>;
84
                 R<sup>4</sup>, at each occurrence, independently is selected from the group consisting of:
85
                         a) H, b) -OR<sup>6</sup>, c) an amine protecting group, d) C<sub>1-6</sub> alkyl, e) C<sub>2-6</sub> alkenyl,
86
                         f) C<sub>2-6</sub> alkynyl, g) C<sub>3-14</sub> saturated, unsaturated, or aromatic carbocycle,
87
                         h) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one
88
                         or more heteroatoms selected from the group consisting of nitrogen, oxygen, and
89
                         sulfur, i) -C(O)-C_{1-6} alkyl, j) -C(O)-C_{2-6} alkenyl, k) -C(O)-C_{2-6} alkynyl,
90
                          1) -C(O)-C<sub>3-14</sub> saturated, unsaturated, or aromatic carbocycle,
91
                          m) -C(O)-3-14 membered saturated, unsaturated, or aromatic heterocycle
92
                          comprising one or more heteroatoms selected from the group consisting of
93
94
                          nitrogen, oxygen, and sulfur, n) -C(O)O-C_{1-6} alkyl, o) -C(O)O-C_{2-6} alkenyl,
                          p) -C(O)O-C<sub>2-6</sub> alkynyl, q) -C(O)O-C<sub>3-14</sub> saturated, unsaturated, or aromatic
95
                          carbocycle, and r) -C(O)O-3-14 membered saturated, unsaturated, or aromatic
96
                          heterocycle comprising one or more heteroatoms selected from the group
 97
                          consisting of nitrogen, oxygen, and sulfur,
 98
                                   wherein any of d) - r) optionally is substituted with one or more R^5
 99
100
                                   groups;
                 R<sup>5</sup>, at each occurrence, is independently selected from the group consisting of:
101
                          a) F, b) Cl, c) Br, d) I, e) =O, f) =S, g) =NR<sup>6</sup>, h) =NOR<sup>6</sup>, i) =N-NR<sup>6</sup>R<sup>6</sup>, j) -CF<sub>3</sub>,
102
                          k) - OR^6, l) - CN, m) - NO_2, n) - NR^6R^6, o) - C(O)R^6, p) - C(O)OR^6, q) - OC(O)R^6,
103
                          r) -C(O)NR^6R^6, s) -NR^6C(O)R^6, t) -OC(O)NR^6R^6, u) -NR^6C(O)OR^6,
104
                          v) -NR^6C(O)NR^6R^6, w) -C(S)R^6, x) -C(S)OR^6, y) -OC(S)R^6, z) -C(S)NR^6R^6,
105
                          aa) -NR^6C(S)R^6, bb) -OC(S)NR^6R^6, cc) -NR^6C(S)OR^6, dd) -NR^6C(S)NR^6R^6,
106
                          ee) -C(NR<sup>6</sup>)R<sup>6</sup>, ff) -C(NR<sup>6</sup>)OR<sup>6</sup>, gg) -OC(NR<sup>6</sup>)R<sup>6</sup>, hh) -C(NR<sup>6</sup>)NR<sup>6</sup>R<sup>6</sup>,
107
```

108	ii) -NR 6 C(NR 6)R 6 , jj) -OC(NR 6)NR 6 R 6 , kk) –NR 6 C(NR 6)OR 6 ,
109	ll) -NR ⁶ C(NR ⁶)NR ⁶ R ⁶ , mm) -S(O) _p R ⁶ , nn) -SO ₂ NR ⁶ R ⁶ , and oo) R ⁶ ;
110	R ⁶ , at each occurrence, independently is selected from the group consisting of:
111	a) H, b) -OR ⁸ , c) an amine protecting group, d) C ₁₋₆ alkyl, e) C ₂₋₆ alkenyl,
112	f) C ₂₋₆ alkynyl, g) C ₃₋₁₄ saturated, unsaturated, or aromatic carbocycle,
113	h) 3-14 membered saturated, unsaturated, or aromatic heterocycle comprising one
114	or more heteroatoms selected from the group consisting of nitrogen, oxygen, and
115	sulfur, i) -C(O)-C ₁₋₆ alkyl, j) -C(O)-C ₂₋₆ alkenyl, k) -C(O)-C ₂₋₆ alkynyl,
116	l) -C(O)-C ₃₋₁₄ saturated, unsaturated, or aromatic carbocycle,
117	m) -C(O)-3-14 membered saturated, unsaturated, or aromatic heterocycle
118	comprising one or more heteroatoms selected from the group consisting of
119	nitrogen, oxygen, and sulfur, n) -C(O)O-C ₁₋₆ alkyl, o) -C(O)O-C ₂₋₆ alkenyl,
120	p) -C(O)O-C ₂₋₆ alkynyl, q) -C(O)O-C ₃₋₁₄ saturated, unsaturated, or aromatic
121	carbocycle, and r) -C(O)O-3-14 membered saturated, unsaturated, or aromatic
122	heterocycle comprising one or more heteroatoms selected from the group
123	consisting of nitrogen, oxygen, and sulfur,
124	wherein any of d) – r) optionally is substituted with one or more R^7
125	groups;
126	R ⁷ , at each occurrence, independently is selected from the group consisting of:
127	a) F, b) Cl, c) Br, d) I, e) =O, f) =S, g) =NR 8 , h) =NOR 8 , i) =N-NR 8 R 8 , j) -CF ₃ ,
128	k) $-OR^8$, l) $-CN$, m) $-NO_2$, n) $-NR^8R^8$, o) $-C(O)R^8$, p) $-C(O)OR^8$, q) $-OC(O)R^8$,
129	r) -C(O)NR 8 R 8 , s) -NR 8 C(O)R 8 , t) -OC(O)NR 8 R 8 , u) -NR 8 C(O)OR 8 ,
130	v) -NR 8 C(O)NR 8 R 8 , w) -C(S)R 8 , x) -C(S)OR 8 , y) -OC(S)R 8 , z) -C(S)NR 8 R 8 ,
131	aa) $-NR^8C(S)R^8$, bb) $-OC(S)NR^8R^8$, cc) $-NR^8C(S)OR^8$, dd) $-NR^8C(S)NR^8R^8$,
132	ee) $-C(NR^8)R^8$, ff) $-C(NR^8)OR^8$, gg) $-OC(NR^8)R^8$, hh) $-C(NR^8)NR^8R^8$,
133	ii) -NR ⁸ C(NR ⁸)R ⁸ , jj) -OC(NR ⁸)NR ⁸ R ⁸ , kk) –NR ⁸ C(NR ⁸)OR ⁸ ,
134	ll) -NR 8 C(NR 8)NR 8 R 8 , mm) -S(O) $_p$ R 8 , nn) -SO $_2$ NR 8 R 8 , 00) C $_{1-6}$ alkyl,
135	pp) C ₂₋₆ alkenyl, qq) C ₂₋₆ alkynyl, rr) C ₃₋₁₄ saturated, unsaturated, or aromatic
136	carbocycle, and ss) 3-14 membered saturated, unsaturated, or aromatic heterocycle
137	comprising one or more heteroatoms selected from the group consisting of
138	nitrogen, oxygen, and sulfur,

139	wherein any of oo) – ss) optionally is substituted with one or more
140	moieties selected from the group consisting of R ⁸ , F, Cl, Br, I, -CF ₃ , -OR ⁸
141	$-SR^{8}$, -CN, -NO ₂ , $-NR^{8}R^{8}$, -C(O)R ⁸ , -C(O)OR ⁸ , -OC(O)R ⁸ , -C(O)NR ⁸ R ⁸ ,
142	$-NR^8C(O)R^8$, $-OC(O)NR^8R^8$, $-NR^8C(O)OR^8$, $-NR^8C(O)NR^8R^8$, $-C(S)R^8$,
143	$-C(S)OR^8$, $-OC(S)R^8$, $-C(S)NR^8R^8$, $-NR^8C(S)R^8$, $-OC(S)NR^8R^8$,
144	-NR 8 C(S)OR 8 , -NR 8 C(S)NR 8 R 8 , -C(NR 8)R 8 , -C(NR 8)OR 8 , -OC(NR 8)R 8 ,
145	-C(NR 8)NR 8 R 8 , -NR 8 C(NR 8)R 8 , -OC(NR 8)NR 8 R 8 , -NR 8 C(NR 8)OR 8 ,
146	-NR 8 C(NR 8)NR 8 R 8 , -SO ₂ NR 8 R 8 , and-S(O) $_p$ R 8 ;
147	R ⁸ , at each occurrence, independently is selected from the group consisting of:
148	a) H, b) an amine protecting group, c) C ₁₋₆ alkyl, d) C ₂₋₆ alkenyl, e) C ₂₋₆ alkynyl,
149	f) C ₃₋₁₄ saturated, unsaturated, or aromatic carbocycle, g) 3-14 membered
150	saturated, unsaturated, or aromatic heterocycle comprising one or more
151	heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,
152	h) -C(O)-C ₁₋₆ alkyl, i) -C(O)-C ₂₋₆ alkenyl, j) -C(O)-C ₂₋₆ alkynyl,
153	k) -C(O)-C ₃₋₁₄ saturated, unsaturated, or aromatic carbocycle,
154	l) -C(O)-3-14 membered saturated, unsaturated, or aromatic heterocycle
155	comprising one or more heteroatoms selected from the group consisting of
156	nitrogen, oxygen, and sulfur, m) -C(O)O- C_{1-6} alkyl, n) -C(O)O- C_{2-6} alkenyl,
157	o) -C(O)O-C ₂₋₆ alkynyl, p) -C(O)O-C ₃₋₁₄ saturated, unsaturated, or aromatic
158	carbocycle, and q) -C(O)O-3-14 membered saturated, unsaturated, or aromatic
159	heterocycle comprising one or more heteroatoms selected from the group
160	consisting of nitrogen, oxygen, and sulfur,
161	wherein any of c) - q) optionally is substituted with one or more moieties
162	selected from the group consisting of F, Cl, Br, I, -CF ₃ , -OH, -OC ₁₋₆ alkyl
163	-SH, -SC ₁₋₆ alkyl, -CN, -NO ₂ , -NH ₂ , -NHC ₁₋₆ alkyl, -N(C ₁₋₆ alkyl) ₂ ,
164	-C(O)C ₁₋₆ alkyl, -C(O)OC ₁₋₆ alkyl, -C(O)NH ₂ , -C(O)NHC ₁₋₆ alkyl,
165	$-C(O)N(C_{1\text{-}6} \text{ alkyl})_2, -NHC(O)C_{1\text{-}6} \text{ alkyl}, -SO_2NH_2\text{-}, -SO_2NHC_{1\text{-}6} \text{ alkyl},$
166	$-SO_2N(C_{1-6} \text{ alkyl})_2$, and $-S(O)_pC_{1-6} \text{ alkyl}$;
167	R ⁹ is selected from the group consisting of:
168	a) C ₁₋₆ alkyl, b) phenyl, and c) toluyl;
169	wherein any of a) - c) optionally is substituted with one or more moieties
170	selected from the group consisting of F, Cl, Br, and I;

m is 0, 1, 2, 3, or 4;

2

2

5

n is 0, 1, 2, 3, or 4; and

p, at each occurrence, independently is 0, 1, or 2.

1 71. A process for preparing a compound having the formula:

$$M-L-A-B-N-O$$
 H_2C-R^3

3 the process comprising the steps of:

4 combining a compound of formula (I):

$$M-L-A-Z$$
6 (I)

7 with a compound of formula (II):

$$Q \xrightarrow{R^2}_{n} Q$$

$$Q \xrightarrow{B} N Q$$

$$H_2C \xrightarrow{R^3}$$

$$Q \xrightarrow{R^2}_{n} Q$$

$$Q \xrightarrow{R^2}_{n$$

in a solvent in the presence of a base and a palladium catalyst,

wherein A, B, L, M, R¹, R², R³, Q, Z, m, and n are defined as described in claim 70.

1 72. A process for preparing a compound having the formula:

$$M-L-A-B-N$$
 H_2C-R^3

3 the process comprising the steps of:

4 combining a compound of formula (I):

6 (I).

7 with a compound of formula (II):

$$Q \xrightarrow{R^2 \choose j}_{n} \bigvee_{H_2C \leftarrow R^3}^{O}$$

$$(II)$$

in a solvent in the presence of a base and a palladium catalyst,

wherein A, B, L, M, R¹, R², R³, Q, Z, m, and n are defined as described in claim 70.

73. The process according to any one of claims 70-72, wherein

- A is selected from the group consisting of phenyl and pyridyl;
- B is selected from the group consisting of phenyl and pyridyl;
- 4 m is 0, 1, or 2; and
- 5 n is 0, 1, or 2.
- 1 74. The process according to any one of claims 70-73, wherein R³ is -NHC(O)R⁴.
- 1 75. The process according to claim 74, wherein R^4 is $-CH_3$.
- 1 76. The process according to any one of claims 70-73, wherein R³ is selected from the group
- 2 consisting of triazole, tetrazole, oxazole, and isoxazole.
- 1 77. The process according to claim 76, wherein \mathbb{R}^3 is triazole.
- 1 78. The process according to claim 77, wherein R³ is [1,2,3]triazol-1-yl.
- 1 79. The process according to any one of claims 70-73, wherein compound (II) has the
- 2 formula:

3

1

$$Q = \begin{pmatrix} R^2 \\ n \end{pmatrix} \qquad Q = \begin{pmatrix} R^2 \\ -1 \end{pmatrix} \qquad Q = \begin{pmatrix} R^2 \\$$

wherein R², R³, Q, and n are defined as described in claim 70.

1 80. The process according to claim 79, wherein compound (II) has the formula:

.2

2

2

2

3 wherein Q and R³ are defined as described in claim 70.

1 81. The process according to claim 80, wherein compound (II) has the formula:

$$Q \longrightarrow H_2C \longrightarrow H$$
 CH_3

wherein Q is defined as described in claim 70.

1 82. The process according to claim 80, wherein compound (II) has the formula:

wherein Q is defined as described in claim 70.

1 83. The process according to claim 79, wherein compound (II) has the formula:

3 wherein Q and R³ are defined as described in claim 70.

1 84. The process according to claim 83, wherein compound (II) has the formula:

wherein Q is defined as described in claim 70.

1 85. The process according to claim 83, wherein compound (II) has the formula:

2

2

$$Q \longrightarrow N O$$
 $H_2C \longrightarrow N N N$

3 wherein Q is defined as described in claim 70.

1 So. The process according to any one of claims 70-85, wherein compound (I) has the formula:

$$M-L-\begin{pmatrix} R^1 \end{pmatrix}_m$$

wherein L, M, R¹, Z, and m are defined as described in claim 70.

1 87. The process according to claim 86, wherein compound (I) has the formula:

wherein L, M, and Z are defined as described in claim 70.

1 88. The process according to any one of claims 70-85, wherein compound (I) has the formula:

$$\begin{array}{c}
\begin{pmatrix} R^1 \\ m \end{pmatrix} \\
M-L-\begin{pmatrix} R^1 \\ N-\end{pmatrix} \\
N-\end{pmatrix} Z$$

3 wherein L, M, R¹, Z, and m are defined as described in claim 70.

1 89. The process according to claim 88, wherein compound (I) has the formula:

$$N-L-\sqrt{N-Z}$$

3 wherein L, M, and Z are defined as described in claim 70.

- 1 90. The process according to any one of claims 70-89, wherein M-L is M-CH₂-X-CH₂-.
- 1 91. The process according to claim 90, wherein X is -NR⁴-.
- 1 92. The process according to claim 91, wherein R⁴ is H.
- 1 93. The process according to claim 91, wherein R⁴ is an amine protecting group.
- 1 94. The process according to claim 93, wherein the amine protecting group is selected from
- 2 the group consisting of:

108.

1

a) benzyl, b) t-butyldimethylsilyl, c) t-butdyldiphenylsilyl, d) t-butyloxycarbonyl, 3 e) p-methoxybenzyl, f) methoxymethyl, g) tosyl, h) trifluoroacetyl, 4 i) trimethylsilyl, j) fluorenyl-methyloxycarbonyl, k) 2-trimethylsilyl-5 ethyoxycarbonyl, l) 1-methyl-1-(4-biphenylyl)ethoxycarbonyl, 6 m) allyloxycarbonyl, and n) benzyloxycarbonyl. 7 The process according to claim 93, further comprising the step of removing the amine 95. 1 protecting group. 2 The process according to any one of claims 70-89, wherein 96. 1 M-L is $M-S-L^1-NR^4-L^2$; 2 L1 is C1-6 alkyl; and 3 L^2 is C_{1-6} alkyl. 4 The process according to claim 96, wherein M-L is: 97. 1 M-S-CH₂CH₂-NH-CH₂-. 2 The process according to any one of claims 70-89, wherein L is C₁₋₆ alkyl. 98. 1 The process according to claim 98, wherein L is -CH₂-. 99. 1 The process according to any one of claims 90-99, wherein M comprises a 5-6 membered 100. 1 saturated, unsaturated, or aromatic heterocycle comprising one or more heteroatoms selected 2 from the group consisting of nitrogen, oxygen, and sulfur. 3 The process according to claim 100, wherein M is selected from the group consisting of 101. 1 triazole, tetrazole, oxazole, and isoxazole. 2 The process according to claim 101, wherein M is isoxazol-4-yl. 1 102. The process according to claim 101, wherein M is [1,2,3]triazol-1-yl. 103. 1 The process according to claim 101, wherein M is [1,2,3]triazol-4-yl. 1 104. The process according to any one of claims 70-89, wherein M-L is M-X-CH₂-. 105. 1 The process according to claim 105, wherein X is -NR⁴-. 1 106. The process according to claim 106, wherein R⁴ is H. 1 107.

The process according to claim 106, wherein R⁴ is an amine protecting group.

- 1 109. The process according to claim 108, wherein the amine protecting group is selected from
- 2 the group consisting of:
- a) benzyl, b) t-butyldimethylsilyl, c) t-butdyldiphenylsilyl, d) t-butyloxycarbonyl,
- e) p-methoxybenzyl, f) methoxymethyl, g) tosyl, h) trifluoroacetyl,
- i) trimethylsilyl, j) fluorenyl-methyloxycarbonyl, k) 2-trimethylsilyl-
- 6 ethyoxycarbonyl, l) 1-methyl-1-(4-biphenylyl)ethoxycarbonyl,
- 7 m) allyloxycarbonyl, and n) benzyloxycarbonyl.
- 1 110. The process according to claim 108, further comprising the step of removing the amine
- 2 protecting group.
- 1 111. The process according any one of claims 70-89, wherein M-X is:

$$\begin{array}{c} M \\ N \\ \parallel \\ R^4 R^4 N \\ \end{array} \begin{array}{c} M \\ N \\ R^4 \end{array}$$

1 112. The process according to claim 111, wherein M-X is:

- 1 113. The process according to any one of claims 105-112, wherein M is selected from the
- 2 group consisting of:
- a) C₁₋₆ alkyl, b) C₂₋₆ alkenyl, c) C₂₋₆ alkynyl, and d) -CN,
- 4 wherein
- i) any of a) c) is substituted with one or more moieties selected
- 6 from the group consisting of F, Cl, Br, I, and -CN; and
- 7 ii) any of a) c) optionally is further substituted with one or more R^5
- 8 groups.
- 1 114. The process according to claim 113, wherein M is C_{1-6} alkyl substituted with one or more
- 2 atoms selected from the group consisting of F, Cl, Br, and I.
- 1 115. The process according to claim 114, wherein M is -CH₂CH₂CH₂F.
- 1 116. The process according to claim 113, wherein M is -CH₂CH(OH)CH₂F.

- 1 117. The process according to claim 113, wherein M is C₁₋₆ alkyl substituted with one or more
- 2 -CN groups.
- 1 118. The process according to claim 117, wherein M is -CH₂CH₂CN.
- The process according to claim 113, wherein M is -CH₂C(O)NH₂.
- 1 120. The process according to any one of claims 70-119, wherein Z is selected from the group
- 2 consisting of I, trifluoromethanesulfonate, and *p*-toluenesulfonate.
- 1 121. The process according to claim 120, wherein Z is I.
- 1 122. The process according to any one of claims 70-121, wherein Q is -B(OH)₂.
- 1 123. The process according to any one of claims 70-121, wherein Q is:

- 1 124. The process according to any one of claims 70-121, wherein Q is -BF₂·KF.
- 1 125. The process according to any one of claims 70-124, wherein the base is selected from the
- 2 group consisting of alkali metal hydroxides, alkali metal carbonates, alkali metal fluorides,
- 3 trialkyl amines, and mixtures thereof.
- 1 126. The process according to claim 125, wherein the base is selected from the group
- 2 consisting of potassium carbonate, sodium carbonate, sodium methoxide, sodium ethoxide,
- 3 potassium fluoride, triethylamine, diisopropylethylamine, and mixtures thereof.
- 1 127. The process according to claim 126, wherein the base is potassium carbonate.
- 1 128. The process according to claim 125, wherein the ratio of equivalents of base to
- 2 equivalents of compound (I) is about 3:1.
- 1 129. The process according to any one of claims 70-128, wherein the palladium catalyst is a
- 2 ligand coordinated palladium (0) catalyst.
- 130. The process according to claim 129, wherein the palladium catalyst is a tetrakis
- 2 (trialkylphosphine) palladium (0) or a tetrakis(triarylphosphine) palladium (0) catalyst.
- 1 131. The process according to claim 130, wherein the palladium catalyst is
- 2 tetrakis(triphenylphosphine) palladium (0).

- 1 132. The process according to claim 131, wherein the ratio of the equivalents of
- 2 tetrakis(triphenylphosphine) palladium (0) to the equivalents of compound (I) is about 1:20.
- 1 133. The process according to any one of claims 70-132, wherein the solvent comprises an
- 2 aqueous solvent.
- 1 134. The process according to any one of claims 70-132, wherein the solvent comprises a
- 2 mixture of water and an organic solvent, wherein the organic solvent is selected from the group
- 3 consisting of:
- a) methanol, b) ethanol, c) propanol, d) isopropanol, e) butanol, f) isobutanol,
- g) secondary butanol, h) tertiary butanol, i) benzene, j) toluene,
- 6 k) tetrahydrofuran, l) dimethylformamide, m) 1,2-diethyl ether,
- n) dimethoxyethane, o) diisopropyl ether, p) methyltertiarybutyl ether,
- q) methoxymethyl ether, r) 2-methoxyethyl ether, s) 1,4-dioxane, and
- 9 t) 1,3-dioxolane, and mixtures thereof.
- 1 135. The process according to claim 134 wherein the solvent comprises a mixture of water,
- 2 toluene, and ethanol.
- 1 136. The process according to claim 135 wherein the solvent comprises a mixture of water,
- 2 toluene, and ethanol in a ratio of about 1:3:1 by volume.
- 1 137. The process according to any one of claims 70-136, wherein the process is carried out at
- 2 a temperature between about 20 °C and about 100 °C.
- 1 138. The process according to any one of claims 70-136, wherein the process is carried out at
- 2 the reflux temperature of the solvent.